CHARACTERISATION OF WATER UPTAKE AND SWELLING FORCE OF PHARMACEUTICAL TABLETS

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ABSTRACT

Tablets are the most common dosage form in the pharmaceutical industry. Rapid drug release is ensured by quick tablet disintegration which is caused by the absorption of water into the tablet. Therefore, water uptake and subsequent volume expansion are necessary requirements for tablet disintegration. In this work, binary mixtures of excipients were compacted to tablets with varying structural and mechanical properties to determine the influence of formulation and process parameters on water uptake and resulting swelling force of tablets. Results showed strong influences of disintegrant concentration as well as tablet porosity on both absorbed amount of water and acting swelling force. Obtained results revealed that water uptake and swelling force measurements are useful tools for an improved understanding of tablet disintegration.

Keywords: Water uptake, swelling force, tablets, disintegrants

INTRODUCTION

The majority of commercial tablets are designed to release at least parts of their active pharmaceutical ingredient (API) immediately after administration. The API release is directly depending on the available surface area which can be greatly enhanced by rapid tablet disintegration. Therefore, disintegrants are added to tablet formulations ensuring the quick disintegration of tablets into smaller fragments. The intrusion of water into the tablet is a necessary requirement for disintegration to occur. The absorbed water mitigates interparticulate bonds and therefore, results in a deteriorated mechanical tablet strength. The disruption of the tablet matrix can be further accelerated by incorporated disintegrant particles which tend to swell up to a multiple of their initial volume.

For commercial products, the disintegration behavior of tablets is solely described by determining the disintegration time with a disintegration tester according to the applicable pharmacopeia. However, the derived disintegration time lacks any insight into processes involved during the tablet disintegration and gives no information about the course of the tablet disintegration. Therefore, custom-built apparatuses to describe acting subprocesses, namely water uptake rate and swelling force, were built by different authors to characterize the interaction of pharmaceutical tablets with water during disintegration [Caramella et al., 1988; Catellani et al., 1989]. The applied methods showed useful insight to gain an improved understanding of tablet disintegration. However, previously applied studies lack systematic investigations of the influence of formulation and process parameters as well as physical interpretation of derived data.

RESEARCH CONCEPT

Applied materials comprised microcrystalline cellulose (MCC; Vivapur 102, JRS Pharma) as filler/binder and both croscarmellose sodium (Primellose, DFE Pharma) and crospovidone (Polyplasdone XL, Ashland) as disintegrants.

Tableting

Binary mixtures of MCC and disintegrants with varying shares of disintegrants (0 – 20 wt.%) were compacted into tablets of 450 mg using the compaction simulator Styl'One evolution (Medel'Pharm, France). Tablets were compacted applying different levels of compaction pressures (25 – 400 MPa) using biplanar, round 11.28 mm Euro-D tooling.

Tablet analysis

Tablet porosities were calculated using the geometrical measures and mass of produced tablets. Diametrical compression strength was measured and tablet tensile

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strength was subsequently calculated applying the equation of [Fell and Newton, 1970].

Water uptake and swelling force

Water uptake of tablets was measured using a tensiometer K20 (Krüss GmbH, Germany) with a customized setup until derived mass increase undercut 0.1 mN/s.

Swelling force of tablets was measured using material testing machine zwickiline Z2.5 (Zwick/Roell GmbH & Co. KG, Germany). Tablets were placed on metal petri dishes and fixed by applying 0.5 N with a steel punch acting as a force transmission element. 40 mL of distilled water were added and the axial swelling force was measured until a decrease of swelling force was detected.

RESULTS & DISCUSSION

Measured maximal water uptake revealed the ability of tablets to absorb a multiple of their own weight (Figure 1). Water uptake rises with increasing crospovidone concentration possibly due to the increased water absorption of disintegrant particles and increased disruption of tablet matrix allowing enhanced storage of water. Results revealed a considerable influence of the densification status of tablets as expressed by different water uptake masses for different compaction stresses.

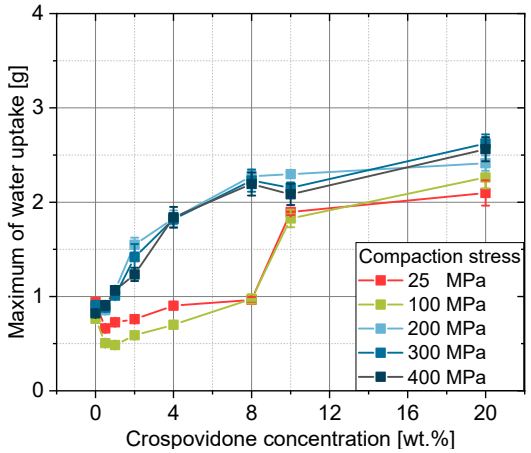

Figure 1: Water uptake of tablets of MCC + crospovidone for different levels of compaction stress and crospovidone

In contrast, swelling force decreases with increasing concentration of crospovidone (Figure 2) most likely caused by the rapid disruption and radial relaxation of the tablet matrix. Swelling force is found to depend on both formulation and process parameters and can exhibit considerably high values up to 600 N.

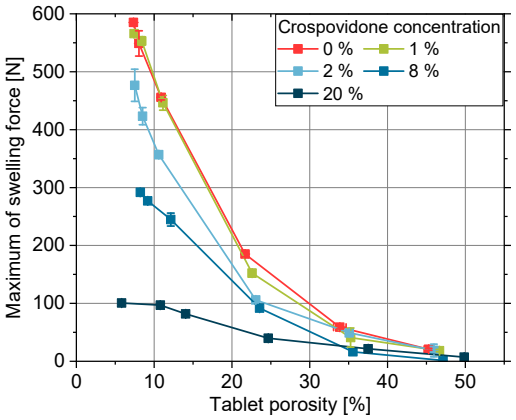

Figure 2: Swelling force of tablets of MCC + crospovidone for different disintegrant concentrations and tablet porosities

When correlated with the measured disintegration time, swelling force rate was found to be a better indicator for rapid tablet disintegration than the maximum values of the swelling force due to the rapid disintegration of the tablet matrix (data not shown).

CONCLUSIONS

Results showed a complex interplay of process parameters and formulation on both water uptake and swelling force. Applied methods revealed interesting insight into acting subprocesses during disintegration.

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